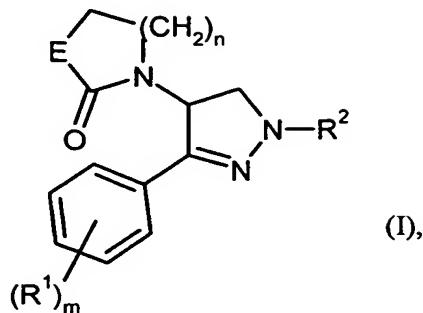


Patent Claims

1. Compound of the formula



in which

5 E represents methylene, NH, an oxygen atom or a sulphur atom,

m represents 0, 1, 2 or 3,

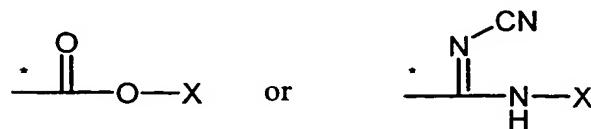
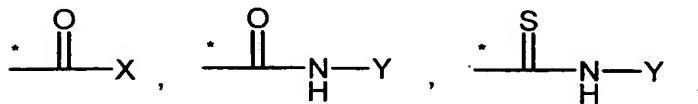
n represents 1, 2 or 3,

10 R<sup>1</sup> represents halogen, hydroxyl, amino, cyano, nitro, trifluoromethyl, trifluoromethoxy, alkyl, alkoxy, hydroxycarbonyl, aminocarbonyl, alkoxy carbonyl, alkylaminocarbonyl or -NH(C=O)OR<sup>9</sup>,

where

R<sup>9</sup> represents (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)-aryl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkylmethyl or (C<sub>6</sub>-C<sub>10</sub>)-aryl methyl,

R<sup>2</sup> represents a group of the formula



15

where

\* represents the point of attachment to the pyrazoline ring,

X represents  $R^3$  or  $(C_1-C_8)$ -alkylene- $R^4$ ,

where alkylene may be substituted by 1 to 4 fluorine atoms,

Y represents  $R^3$  or  $(C_1-C_8)$ -alkylene- $R^4$ ,

where alkylene may be substituted by 1 to 4 fluorine atoms,

5            $R^3$  represents 1,3-benzodioxole, 2,2-difluoro-1,3-benzodioxole, 2,3-dihydro-1,4-benzodioxin, 2,2,4,4-tetrafluoro-4H-1,3-benzodioxin, indanyl, 1,2,3,4-tetrahydronaphthyl,  $(C_6-C_{10})$ -aryl, 5- to 10-membered heteroaryl,  $(C_3-C_6)$ -cycloalkyl or 5- to 10-membered heterocyclyl,

10           where aryl, heteroaryl, cycloalkyl or heterocyclyl may be substituted by 1 to 3 substituents independently of one another selected from the group consisting of hydroxyl, amino, halogen, cyano, nitro, monohalomethyl, dihalomethyl, trihalomethyl, monohalomethoxy, dihalomethoxy, trihalomethoxy, alkyl, alkoxy, alkylamino, aryl, hydroxycarbonyl, alkoxy carbonyl, aminocarbonyl, alkylaminocarbonyl, alkylcarbonyl, alkylcarbonyloxy, alkylcarbonylamino and alkylsulphonyl,

15            $R^4$  represents hydrogen, 1,3-benzodioxole, 2,2-difluoro-1,3-benzodioxole, 2,3-dihydro-1,4-benzodioxin, 2,2,4,4-tetrafluoro-4H-1,3-benzodioxin, indanyl, 1,2,3,4-tetrahydronaphthyl,  $(C_6-C_{10})$ -aryl, 5- to 10-membered heteroaryl,  $(C_3-C_7)$ -cycloalkyl, 5- to 10-membered heterocyclyl, hydroxyl, cyano, trifluoromethyl, optionally fluorine-substituted alkylthio,  $-OR^5$ ,  $-C(=O)R^6$  or  $-NR^7R^8$ ,

20           where aryl, heteroaryl, cycloalkyl or heterocyclyl may be substituted by 1 to 3 substituents independently of one another selected from the group consisting of hydroxyl, amino, halogen, cyano, nitro, oxo, monohalomethyl, dihalomethyl, trihalomethyl, monohalomethoxy, dihalomethoxy, trihalomethoxy, alkyl, optionally alkoxy carbonyl-substituted alkoxy, alkylamino, aryl, benzyl, hydroxycarbonyl, alkoxy carbonyl, aminocarbonyl, alkylaminocarbonyl, alkylcarbonyl, alkylcarbonyloxy, alkylcarbonylamino and alkylsulphonyl,

$R^5$  represents optionally fluorine-substituted alkyl, ( $C_6$ - $C_{10}$ )-aryl, benzyl, ( $C_3$ - $C_7$ )-cycloalkyl or alkylcarbonyl,

5 where aryl, benzyl or cycloalkyl may be substituted by 1 to 3 substituents independently of one another selected from the group consisting of hydroxyl, amino, halogen, cyano, nitro, oxo, monohalomethyl, dihalomethyl, trihalomethyl, monohalomethoxy, dihalomethoxy, trihalomethoxy, alkyl, alkoxy, alkylamino, aryl, benzyl, hydroxycarbonyl, alkoxy carbonyl, aminocarbonyl, alkylaminocarbonyl, alkylcarbonyl, alkylcarbonyloxy, alkylcarbonyl-amino and alkylsulphonyl,

10

$R^6$  represents hydroxyl, amino, alkyl, alkylamino, alkoxy, ( $C_6$ - $C_{10}$ )-aryl, benzyloxy or 5- to 10-membered heterocyclyl,

15 where aryl or benzyloxy may be substituted by 1 to 3 substituents independently of one another selected from the group consisting of hydroxyl, amino, halogen, cyano, nitro, oxo, monohalomethyl, dihalomethyl, trihalomethyl, monohalomethoxy, dihalomethoxy, trihalomethoxy, alkyl, alkoxy, alkylamino, aryl, benzyl, hydroxycarbonyl, alkoxy carbonyl, aminocarbonyl, alkylaminocarbonyl, alkylcarbonyl, alkylcarbonyloxy, alkylcarbonylamino and alkylsulphonyl,

20

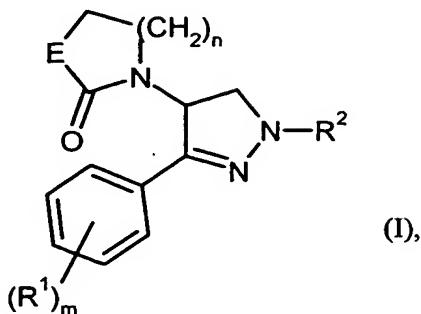
$R^7$  represents hydrogen, alkyl or benzyl,

$R^8$  represents hydrogen, alkyl, phenyl, alkylcarbonyl, alkoxy carbonyl, alkylsulphonyl, optionally alkyl-substituted arylcarbonyl or optionally alkyl-substituted arylsulphonyl,

25 and its salts, its solvates and the solvates of its salts

for the treatment and/or prophylaxis of diseases.

2. Compound of the formula



in which

E represents methylene, NH, an oxygen atom or a sulphur atom,

m represents 0, 1, 2 or 3,

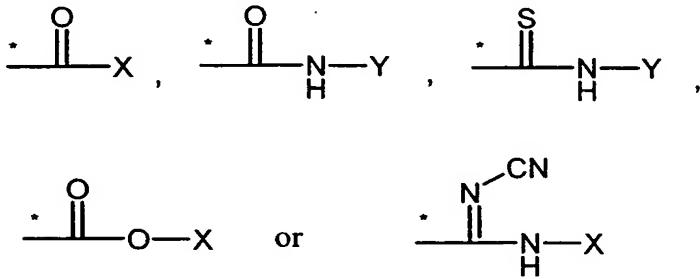
5 n represents 1, 2 or 3,

R<sup>1</sup> represents halogen, hydroxyl, amino, cyano, nitro, trifluoromethyl, trifluoromethoxy, alkyl, alkoxy, hydroxycarbonyl, aminocarbonyl, alkoxy carbonyl, alkylaminocarbonyl or -NH(C=O)OR<sup>9</sup>,

where

10 R<sup>9</sup> represents (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)-aryl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkylmethyl or (C<sub>6</sub>-C<sub>10</sub>)-arylmethyl,

R<sup>2</sup> represents a group of the formula



where

15 \* represents the point of attachment to the pyrazoline ring,

X represents R<sup>3</sup> or (C<sub>1</sub>-C<sub>8</sub>)-alkylene-R<sup>4</sup>,

where alkylene may be substituted by 1 to 4 fluorine atoms,

Y represents (C<sub>1</sub>-C<sub>8</sub>)-alkylene-R<sup>4</sup>,

where alkylene may be substituted by 1 to 4 fluorine atoms,

R<sup>3</sup> represents 1,3-benzodioxole, 2,2-difluoro-1,3-benzodioxole, 2,3-dihydro-1,4-benzodioxin, 2,2,4,4-tetrafluoro-4H-1,3-benzodioxin, indanyl, 1,2,3,4-tetrahydronaphthyl, (C<sub>6</sub>-C<sub>10</sub>)-aryl, 5- to 10-membered heteroaryl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl or 5- to 10-membered heterocyclyl,

where aryl, heteroaryl, cycloalkyl or heterocyclyl may be substituted by 1 to 3 substituents independently of one another selected from the group consisting of hydroxyl, amino, halogen, cyano, nitro, monohalomethyl, dihalomethyl, trihalomethyl, monohalomethoxy, dihalomethoxy, trihalomethoxy, alkyl, alkoxy, alkylamino, aryl, hydroxycarbonyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, alkylcarbonyl, alkylcarbonyloxy, alkylcarbonylamino and alkylsulphonyl,

R<sup>4</sup> represents hydrogen, 1,3-benzodioxole, 2,2-difluoro-1,3-benzodioxole, 2,3-dihydro-1,4-benzodioxin, 2,2,4,4-tetrafluoro-4H-1,3-benzodioxin, indanyl, 1,2,3,4-tetrahydronaphthyl, (C<sub>6</sub>-C<sub>10</sub>)-aryl, 5- to 10-membered heteroaryl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, 5- to 10-membered heterocyclyl, hydroxyl, cyano, trifluoromethyl, optionally fluorine-substituted alkylthio, -OR<sup>5</sup>, -C(=O)R<sup>6</sup> or -NR<sup>7</sup>R<sup>8</sup>,

where aryl, heteroaryl, cycloalkyl or heterocyclyl may be substituted by 1 to 3 substituents independently of one another selected from the group consisting of hydroxyl, amino, halogen, cyano, nitro, oxo, monohalomethyl, dihalomethyl, trihalomethyl, monohalomethoxy, dihalomethoxy, trihalomethoxy, alkyl, optionally alkoxycarbonyl-substituted alkoxy, alkylamino, aryl, benzyl, hydroxycarbonyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, alkylcarbonyl, alkylcarbonyloxy, alkylcarbonylamino and alkylsulphonyl,

R<sup>5</sup> represents optionally fluorine-substituted alkyl, (C<sub>6</sub>-C<sub>10</sub>)-aryl, benzyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl or alkylcarbonyl,

5 where aryl, benzyl or cycloalkyl may be substituted by 1 to 3 substituents independently of one another selected from the group consisting of hydroxyl, amino, halogen, cyano, nitro, oxo, monohalomethyl, dihalomethyl, trihalomethyl, monohalomethoxy, dihalomethoxy, trihalomethoxy, alkyl, alkoxy, alkylamino, aryl, benzyl, hydroxycarbonyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, alkylcarbonyl, alkylcarbonyloxy, alkylcarbonyl-amino and alkylsulphonyl,

10 R<sup>6</sup> represents hydroxyl, amino, alkyl, alkylamino, alkoxy, (C<sub>6</sub>-C<sub>10</sub>)-aryl, benzyloxy or 5- to 10-membered heterocyclyl,

15 where aryl or benzyloxy may be substituted by 1 to 3 substituents independently of one another selected from the group consisting of hydroxyl, amino, halogen, cyano, nitro, oxo, monohalomethyl, dihalomethyl, trihalomethyl, monohalomethoxy, dihalomethoxy, trihalomethoxy, alkyl, alkoxy, alkylamino, aryl, benzyl, hydroxycarbonyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, alkylcarbonyl, alkylcarbonyloxy, alkylcarbonylamino and alkylsulphonyl,

R<sup>7</sup> represents hydrogen, alkyl or benzyl,

20 R<sup>8</sup> represents hydrogen, alkyl, phenyl, alkylcarbonyl, alkoxycarbonyl, alkylsulphonyl, optionally alkyl-substituted arylcarbonyl or optionally alkyl-substituted arylsulphonyl,

and its salts, its solvates and the solvates of its salts.

3. Compound according to Claim 2

25 in which

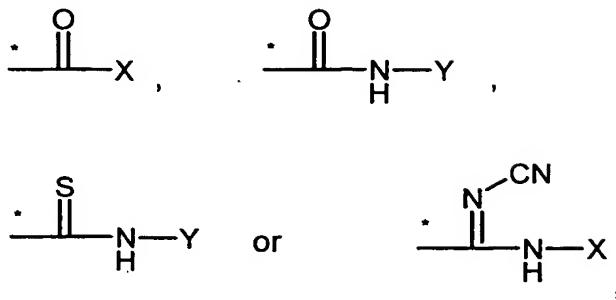
E represents methylene, NH or an oxygen atom,

m represents 0, 1 or 2,

n represents 1, 2 or 3,

R<sup>1</sup> represents halogen, amino, cyano, nitro, trifluoromethyl, alkyl or alkoxy,

$R^2$  represents a group of the formula



where

\* denotes the point of attachment to the pyrazoline ring,

5            X represents  $R^3$  or  $(C_1-C_8)$ -alkylene- $R^4$ ,

Y represents  $(C_1-C_8)$ -alkylene- $R^4$ ,

10             $R^3$  represents 1,3-benzodioxole, 2,2-difluoro-1,3-benzodioxole, 2,3-dihydro-1,4-benzodioxin, 2,2,4,4-tetrafluoro-4H-1,3-benzodioxin, indanyl, 1,2,3,4-tetrahydronaphthyl, phenyl, 5- or 6-membered heteroaryl,  $(C_3-C_6)$ -cycloalkyl or 5- or 6-membered heterocyclyl,

15            where phenyl, heteroaryl, cycloalkyl or heterocyclyl may be substituted by 1 to 3 substituents independently of one another selected from the group consisting of hydroxyl, amino, halogen, cyano, nitro, trichloromethyl, trifluoromethyl, monofluoromethoxy, difluoromethoxy, trifluoromethoxy,  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkoxy,  $(C_1-C_4)$ -alkylamino, phenyl, hydroxycarbonyl,  $(C_1-C_4)$ -alkoxycarbonyl, aminocarbonyl,  $(C_1-C_4)$ -alkylaminocarbonyl and  $(C_1-C_4)$ -alkylcarbonyl,

20             $R^4$  represents hydrogen, 1,3-benzodioxole, 2,2-difluoro-1,3-benzodioxole, 2,3-dihydro-1,4-benzodioxin, 2,2,4,4-tetrafluoro-4H-1,3-benzodioxin, indanyl, 1,2,3,4-tetrahydronaphthyl, phenyl, naphthyl, 5- or 6-membered heteroaryl,  $(C_5-C_6)$ -cycloalkyl, 5- or 6-membered heterocyclyl, cyano, trifluoromethyl,  $-OR^5$ ,  $-C(=O)R^6$  or  $-NR^7R^8$ ,

25            where phenyl, naphthyl, heteroaryl, cycloalkyl or heterocyclyl may be substituted by 1 to 3 substituents independently of one another

5

selected from the group consisting of hydroxyl, amino, halogen, cyano, nitro, oxo, trichloromethyl, trifluoromethyl, mono-fluoromethoxy, difluoromethoxy, trifluoromethoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkylamino, phenyl, hydroxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, aminocarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkylamino-carbonyl and (C<sub>1</sub>-C<sub>4</sub>)-alkylcarbonyl,

10

R<sup>5</sup> represents optionally fluorine-substituted (C<sub>1</sub>-C<sub>4</sub>)-alkyl, phenyl, benzyl or (C<sub>1</sub>-C<sub>4</sub>)-alkylcarbonyl,

R<sup>6</sup> represents (C<sub>1</sub>-C<sub>4</sub>)-alkoxy,

R<sup>7</sup> represents hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl,

R<sup>8</sup> represents (C<sub>1</sub>-C<sub>4</sub>)-alkyl or optionally (C<sub>1</sub>-C<sub>4</sub>)-alkyl-substituted phenylcarbonyl,

and its salts, its solvates and the solvates of its salts.

4. Compound according to Claim 2 or 3,

15

in which

E represents methylene, NH or an oxygen atom,

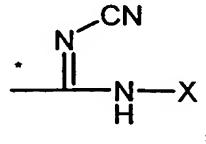
m represents 0, 1 or 2,

n represents 1, 2 or 3,

R<sup>1</sup> represents halogen, amino, cyano, trifluoromethyl, (C<sub>1</sub>-C<sub>4</sub>)-alkyl or (C<sub>1</sub>-C<sub>4</sub>)-alkoxy,

20

R<sup>2</sup> represents a group of the formula



where

\* represents the point of attachment to the pyrazoline ring,

X represents R<sup>3</sup> or (C<sub>1</sub>-C<sub>6</sub>)-alkylene-R<sup>4</sup>,

R<sup>3</sup> represents 1,3-benzodioxole, 2,2-difluoro-1,3-benzodioxole, 2,3-dihydro-1,4-benzodioxin, 2,2,4,4-tetrafluoro-4H-1,3-benzodioxin, phenyl, 5- or 6-membered heteroaryl or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl,

5 where phenyl, heteroaryl or cycloalkyl may be substituted by 1 or 2 substituents independently of one another selected from the group consisting of halogen, cyano, trichloromethyl, trifluoromethyl, monofluoromethoxy, difluoromethoxy, trifluoromethoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkyl and (C<sub>1</sub>-C<sub>4</sub>)-alkoxy,

10 R<sup>4</sup> represents hydrogen, phenyl, 5- or 6-membered heteroaryl, (C<sub>5</sub>-C<sub>6</sub>)-cycloalkyl, 5- or 6-membered heterocyclyl, cyano, trifluoromethyl, -OR<sup>5</sup> or -NR<sup>7</sup>R<sup>8</sup>,

15 where phenyl, heteroaryl, cycloalkyl or heterocyclyl may be substituted by 1 or 2 substituents independently of one another selected from the group consisting of halogen, cyano, oxo, trichloromethyl, trifluoromethyl, monofluoromethoxy, difluoromethoxy, trifluoromethoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkyl and (C<sub>1</sub>-C<sub>4</sub>)-alkoxy,

R<sup>5</sup> represents optionally fluorine-substituted (C<sub>1</sub>-C<sub>4</sub>)-alkyl,

R<sup>7</sup> represents hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl,

20 R<sup>8</sup> represents (C<sub>1</sub>-C<sub>4</sub>)-alkyl,

and its salts, its solvates and the solvates of its salts.

5. Compound according to any of Claims 2 to 4,

in which

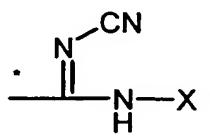
E represents methylene,

25 m represents 1,

n represents 1,

R<sup>1</sup> represents halogen,

R<sup>2</sup> represents a group of the formula



where

\* represents the point of attachment to the pyrazoline ring,

X represents R<sup>3</sup> or (C<sub>1</sub>-C<sub>6</sub>)-alkylene-R<sup>4</sup>,

5 R<sup>3</sup> represents phenyl, 5- or 6-membered heteroaryl or (C<sub>5</sub>-C<sub>6</sub>)-cycloalkyl,

where phenyl, heteroaryl or cycloalkyl may be substituted by 1 or 2 substituents independently of one another selected from the group consisting of halogen, cyano, trichloromethyl, mono-fluoromethoxy, difluoromethoxy, trifluoromethyl, trifluoromethoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkyl and (C<sub>1</sub>-C<sub>4</sub>)-alkoxy,  
10

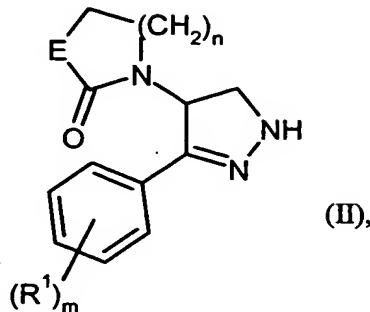
R<sup>4</sup> represents hydrogen, phenyl, 5- or 6-membered heteroaryl, (C<sub>5</sub>-C<sub>6</sub>)-cycloalkyl, 5- or 6-membered heterocyclyl, cyano, trifluoromethyl or -OR<sup>5</sup>,

15 where phenyl, heteroaryl, cycloalkyl or heterocyclyl may be substituted by 1 or 2 substituents independently of one another selected from the group consisting of halogen, cyano, trichloromethyl, monofluoromethoxy, difluoromethoxy, trifluoromethyl, trifluoromethoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkyl and (C<sub>1</sub>-C<sub>4</sub>)-alkoxy,

R<sup>5</sup> represents methyl or ethyl,

20 and its salts, its solvates and the solvates of its salts.

6. Process for preparing compounds of the formula (I) as defined in Claim 2, characterized in that compounds of the formula

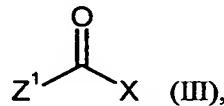


in which

$R^1$ ,  $E$ ,  $m$  and  $n$  are as defined in Claim 2,

are reacted either

5 [A] with compounds of the formula



in which

$X$  is as defined in Claim 2 and

$Z^1$  represents halogen, preferably chlorine or bromine, or hydroxyl,

10 or

[B] with compounds of the formula



in which

$Y$  is as defined in Claim 2,

15 or

[C] with compounds of the formula

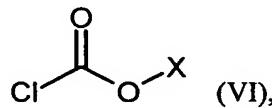


in which

Y is as defined in Claim 2,

or

[D] with compounds of the formula

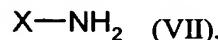


in which

X is as defined in Claim 2,

or

10 [E] in two steps first with diphenylcyanocarboimide and then with compounds of the formula



in which

X is as defined in Claim 2.

7. Compound of the formula (I) as defined in any of Claims 2 to 4 for the treatment and/or prophylaxis of diseases.

15 8. Use of a compound of the formula (I) as defined in any of Claims 1 to 5 for preparing a medicament for the treatment and/or prophylaxis of cardiovascular disorders.

9. Use of a compound of the formula (I) as defined in any of Claims 1 to 5 for preparing a medicament for the treatment and/or prophylaxis of thromboembolic disorders.

20 10. Method for the treatment and/or prophylaxis of cardiovascular disorders which comprises using a therapeutically effective amount of a compound of the formula (I) as defined in any of Claims 1 to 5.

11. Medicament, comprising a compound of the formula (I) as defined in any of Claims 1 to 5 in combination with a further active compound.

12. Medicament, comprising a compound of the formula (I) as defined in any of Claims 1 to 5 in combination with an inert non-toxic pharmaceutically acceptable auxiliary.